IN THE CLAIMS:

Claim 1 (cancelled).

Claim 2 (currently amended and reformatted): A compound of the formula IIa:

$$R^{2}$$
 R^{1}
 R^{3}
 R^{4}
 R^{5}

(IIa)

wherein

X is -C(O), -C(S), -C=NOH, or $-CH(R^7)$ - wherein R^7 is hydrogen, hydroxy, C_{1-7} alkoxy, $-OR^8$ or $-NR^8R^9$ -, (wherein

R⁸ is a group -Y¹R¹⁰-, (wherein

Y¹ is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR¹¹-, -SO₂- or -SO₂NR¹²- (wherein R¹¹ and R¹², which may be the same or different, each independently represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R¹⁰ is selected from one of the following nine groups:

1) hydrogen, C₁₋₇alkyl, C₃₋₇cycloalkyl, C₁₋₄alkylY⁸C₁₋₄alkyl wherein Y⁸ is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkylY⁸alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, carboxy, carbamoyl, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, phenyl, nitro, sulphate, phosphate, Z¹-, (wherein Z¹ represents a 5-6 membered saturated heterocyclic group

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄aminoalkyl, C₁₋₇alkanoyl, cyanoC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl, C₁₋₄alkylsulphonylC₁₋₄alkyl and Z² (wherein Z² is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄aminoalkyl, C₁₋₇alkanoyl, cyanoC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonylC₁₋₄alkyl),

C₁₋₄alkylZ¹ (wherein Z¹ is as defined herein), and

a group -Y²R¹³-, (wherein Y² is -NR¹⁴C(O)- or -O-C(O)- (wherein R¹⁴ represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and R¹³ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R¹⁵ wherein R¹⁵ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR¹⁶R¹⁷ and -NR¹⁸COR¹⁹ (wherein R¹⁶, R¹⁷, R¹⁸ and R¹⁹, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl)));

- 2) R¹⁵ wherein R¹⁵ is as defined herein;
- 3) C2.7alkenylR¹⁵ (wherein R¹⁵ is as defined herein);
- 4) C₃₋₇alkynylR¹⁵ (wherein R¹⁵ is as defined herein));

- 5) Z1 (wherein Z1 is as defined herein);
- 6) C₁₋₇alkylZ¹ (wherein Z¹ is as defined herein);
- 7) C₁₋₇alkylY⁸Z¹ (wherein Z¹ is as defined herein and Y⁸ is -C(O)-, -NR⁵⁹C(O)-, -NR⁵⁹C(O)C₁₋₄alkyl-, -C(O)NR⁶⁰- or -C(O)NR⁶⁰C₁₋₄alkyl-, (wherein R⁵⁹ and R⁶⁰, which may be the same or different, each represents hydrogen, C₁₋₃alkyl, C_{1-3} hydroxyalkyl or C_{1-3} alkoxy C_{2-3} alkyl));
- 8) (C₁₋₇alkyl)_cY⁹Z³ (wherein c is 0 or 1, Z³ is an amino acid group and Y⁹ is a direct bond, -C(O)- or -NR⁶¹- (wherein R⁶¹ is hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl)); and
- 9) C₁₋₇alkylR¹⁵ (wherein R¹⁵ is as defined herein);
- and R9 is hydrogen, C1.7alkyl or C3-7cycloalkyl, which alkyl or cycloalkyl group may bear one or more substituents selected from C₁₋₄alkoxy and phenyl);
- R¹, R² and R³ are each independently hydrogen, PO₃H₂, sulphate, C₃₋₇cycloalkyl, C₂₋₇alkenyl, C₂₋₇alkynyl, C₁₋₇alkanoyl, a group R²⁰C₁₋₇alkyl (wherein R²⁰ is phenyl which may bear one or more substituents selected from C1-4alkyl, C1-4alkoxy, C₁₋₄aminoalkyl and C₁₋₄hydroxyalkoxy), C₁₋₇alkyl or C₁₋₇alkylsulphonyl, (which alkyl or alkylsulphonyl group may bear one or more substituents selected from:
 - halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y²R²¹ (wherein
 - Y² is -NR²²C(O)- or -O-C(O)-, (wherein R²² represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and
 - R²¹ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R²³ wherein R²³ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C1-4hydroxyalkyl, C1-4aminoalkyl, C1-4alkylamino, C1-4hydroxyalkoxy, carboxy,

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cyano, -CONR²⁴R²⁵ and -NR²⁶COR²⁷ (wherein R²⁴, R²⁵, R²⁶ and R²⁷, which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl);

with the proviso that at least two of R¹, R² and R³ are C₁₋₇alkyl;

R⁴ is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C₁₋₇alkoxy, C₁₋₇thioalkoxy, C₁₋₇alkanoyl or C₁₋₇alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y³R²⁸ (wherein

 Y^3 is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl)));

R⁵ and R⁶ are each independently selected from hydrogen, -OPO₃H₂, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C_{1.7}alkoxy, C_{1.7}alkanoyl, C_{1.7}thioalkoxy, C_{1.7}alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y³R²⁸ (wherein Y³ is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or

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C₁₋₃alkoxyC₂₋₃alkyl) and R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl))), and

a group -Y⁴R³⁵ (wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -SO₂-, -OSO₂-, -NR³⁶-, -C₁₋₄alkylNR³⁶-, -C₁₋₄alkylC(O)-, -NR³⁷C(O)-, -OC(O)O-, -C(O)NR³⁸- or -NR³⁹C(O)O- (wherein R³⁶, R³⁷, R³⁸ and R³⁹, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkylamino, di(C₁₋₇alkyl)amino, aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, C₁₋₇alkylphosphate, C₁₋₇alkylphosphonate, C₁₋₇alkylcarbamoylC₁₋₇alkyl, (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylamino, alkylamino, alkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy,

C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl,

C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate,

phosphate and a group -Y⁵R⁴⁰ (wherein Y⁵ is -NR⁴¹C(O)-, -C(O)NR⁴²-,

-C(O)-O- or -O-C(O)- (wherein R⁴¹ and R⁴² which may be the same or

different each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and R⁴⁰

is C₁₋₇alkyl, C₃₋₇cycloalkyl, carboxyC₁₋₇alkyl or a group R⁴³ wherein R⁴³ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR⁴⁴R⁴⁵ and -NR⁴⁶COR⁴⁷ (wherein R⁴⁴, R⁴⁵, R⁴⁶ and R⁴⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl))),

R⁴⁸ (wherein R⁴⁸ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy,

C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino,

di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄hydroxyalkyl)aminoC₁₋₄alkyl,

di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl, C₁₋₄hydroxyalkoxy, carboxy,

C₁₋₄carboxyalkyl, phenyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰,

R⁵¹ and R⁵², which may be the same or different, each represents hydrogen,

C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³ (wherein R⁵³ is as defined herein),

C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined herein),

R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} carboxyalkyl, C_{1-4} alkyl, di(C_{1-4} alkyl)amino C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl and R^{54} (wherein R^{54} is a 5-6-membered saturated

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heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C14alkoxyC14alkyl and C14alkylsulphonylC14alkyl)), or

(CH₂)_aY⁶(CH₂)_bR⁵³ (wherein R⁵³ is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y⁶ represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R⁵⁵, R⁵⁶, and R⁵⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and wherein one or more of the (CH₂)_a or (CH₂)_b groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R⁵ is not hydroxy, alkoxy, substituted alkoxy (wherein R⁵ is Y⁴R³⁵ and Y⁴ is -O- and R³⁵ is C₁₋₇alkyl bearing one or more substituents selected from the list given herein), -OPO₃H₂, -O-C₁₋₇alkanoyl or benzyloxy;

with the further proviso that at least one of R⁵ or R⁶ is a group -Y⁴R³⁵ (wherein Y⁴ and R^{35} are as defined herein) but with the further provisos that when R^5 is $-Y^4R^{35}$ and R^6 is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y⁴R³⁵ is not selected from cases wherein:

 Y^4 is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸-(wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y⁵R⁴⁰ (wherein Y⁵ is -O-C(O)- and R⁴⁰ is C₁₋₇alkyl)), or R⁴⁸ (wherein R⁴⁸ is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C₁₄alkyl); and

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that when R⁶ is -Y⁴R³⁵ and R⁵ is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y⁴R³⁵ is not selected from cases wherein:

$$Y^4$$
 is -C(O)-, -O- or -OSO₂- and

R³⁵ is C₁₋₇alkyl, C₁₋₇alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R⁴⁸ (wherein R⁴⁸ is a benzyl group which benzyl group may bear one or more substituents selected from C₁₋₄alkyl), or R⁵³ (wherein R⁵³ is piperidinyl);

or a salt thereof.

Claim 3 (cancelled).

Claim 4 (original): A compound according to claim 2 wherein X is $-CH(R^7)$ -wherein R^7 is $-OR^8$ or $-NR^8R^9$ (wherein R^8 is a group $-Y^1R^{10}$ (wherein Y^1 is -C(O)-, -C(O)O- or $-C(O)NR^{11}$ - (wherein R^{11} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and R^{10} is as defined in claim 2) and R^9 is as defined in claim 2).

Claim 5 (previously amended): A compound according to claim 2 wherein \mathbb{R}^1 , \mathbb{R}^2 and \mathbb{R}^3 are each methyl.

Claim 6 (previously amended): A compound according to claim 2 wherein \mathbb{R}^4 is hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2 wherein R⁶ is hydrogen, halogeno, amino, carboxy, hydroxy, C₁₋₇alkoxy or a group Y⁴R³⁵ (wherein

Y⁴ is -C(O)-, -O- or -OSO₂- and

R³⁵ is C₁₋₇alkyl, C₁₋₇alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno), R⁴⁸ (wherein R⁴⁸ is a benzyl group) or R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N)).

Claim 8 (previously amended): A compound according to claim 2 wherein R⁶ is hydrogen, C(O)OCH₃ or methoxy.

Claim 9 (presently amended and reformatted): A compound according to claims 2 wherein

R⁵ is hydrogen, halogeno, amino, carboxy, carbamoyl, C₁₋₇alkanoyl, C₁₋₇thioalkoxy, or a group -Y⁴R³⁵ (wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸(wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkanoylaminoC₁₋₇alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group -Y⁵R⁴⁰ (wherein

$$Y^5$$
 is -C(O)-O- or -O-C(O)- and

R⁴⁰ is C₁₋₇alkyl or a group R⁴³ wherein R⁴³ is a benzyl group),

R⁴⁸ (wherein R⁴⁸ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl,

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C₁₋₄hydroxyalkoxy, carboxy, C₁₋₄carboxyalkyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³ (wherein R⁵³ is as defined herein), C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined herein), R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro, C1-4alkyl, C1-4hydroxyalkyl, C1-4alkoxy, C₁₋₄carboxyalkyl, C₁₋₄aminoalkyl, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, C1-alkoxyC1-alkyl, C1-alkylsulphonylC1-alkyl and R54 (wherein R54 is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which

heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C14alkyl, C14hydroxyalkyl, C14alkoxy, C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonylC₁₋₄alkyl)), or

(CH₂)_aY⁶(CH₂)_bR⁵³ (wherein R⁵³ is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y⁶ represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R⁵⁵, R⁵⁶, and R⁵⁷, which may be the same or different, each represents hydrogen, C1-3alkyl or C1-3alkoxyC2-3alkyl), and wherein one or more of the (CH₂)_a or (CH₂)_b groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R⁵ is not alkoxy, substituted alkoxy (wherein R⁵ is Y⁴R³⁵ and Y⁴ is -O- and R35 is C1-7alkyl bearing one or more substituents selected from the list given herein), -O-C₁₋₇alkanoyl or benzyloxy.

Claim 10 (original): A compound according to claim 2 selected from: (5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl 3-{[(2R)-2,6-diaminohexanoyl]amino}propanoate,

- (5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl 3-[(2-aminoacetyl)amino]propanoate,
- N-([(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,
- (2S,3S,4S,5R,6R)-6- $\{[(5S)$ -5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo-[a,c]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,
- $N-[(5S)-3-(4-\{4-methylpiperazin-1-ylmethyl\}phenylcarbonyloxy)-9,10,11-trimethoxy-6,$ 7-dihydro-5*H*-dibenzo[a,c]cyclohepten-5-yl]acetamide,
- $N-\{(5S)-3-(4-\{morpholinomethyl\}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5$ <math>H-dibenzo[a,c]cyclohepten-5-yl]acetamide,
- (5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl 3-[4-methylpiperazin-1-ylcarbonyl]propanoate,
- 5-[{(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3 -yl}oxycarbonyl]pentanoic acid,
- 4-(3-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and
- (2S)-N-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

Claim 11 (original): A compound according to claim 2 selected from

- N-[(5S)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6, 7-dihydro-5H-dibenzo[a,c]cyclohepten-5-yl]acetamide and
- (2S)-N-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

Claim 12 (original): A compound according to claim 2 selected from

(2S)-N-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]-cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide and salts thereof.

Claim 13. (original; reformatted): A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

(a) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III or IV:

$$R^2$$
 R^3
 R^2
 R^3
 R^2
 R^3
 R^4
 R^4
 R^1
 R^4
 R^5
(III)
 R^2
 R^4
 R^5

(wherein X, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 are as defined in claim 2 and Y^7 is -O- or -NH-), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkoxy which may be substituted as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, di(C₁₋₇alkyl)aminoC₁₋₇alkylamino and may be substituted as defined in claim 2, or is

R⁵³ (wherein R⁵³ is as defined in claim 2) and Y⁴ is a group -OC(O)- or -NHC(O)-), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is a sugar moiety and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is sulphate and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkylphosphate and may be substituted as defined in claim 2 and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which R⁵ is amino the reaction of a carboxylic acid of formula V:

$$R^2$$
 R^3
 R^2
 R^4
 R^6
 $COOH$

(V)

(wherein X, R¹, R², R³, R⁴ and R⁶ are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

(h) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

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and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (original): A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.